

GAU 1624

PATENT/Docket No. 6011 N DV2

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**CERTIFICATE OF MAILING (37 CFR 1.8)**

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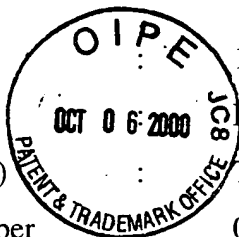
I hereby certify that this paper is being deposited with the United States Postal Service as first class mail under 37 CFR 1.8 on the date indicated above and is addressed to the Commissioner of Patents and Trademarks, Washington, DC 20231.

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Julie K Lyons  
Signature

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

Art Unit 1624  
Examiner B. Coleman  
Applicant(s) : Toni-Jo Poel, et al.  
Serial Number 09/138,209  
Filed : August 24, 1998  
For : Phenyloxazolidinones Having a C-C Bond to 4-8 Membered Heterocyclic Rings



Commissioner of Patents and Trademarks  
Washington, DC 20231

**TRANSMITTAL OF A RESPONSE TO A NON-FINAL ACTION (37 CFR 1.111)**

Sir:

Transmitted herewith is a reply and/or amendment in the above-captioned application in response to the Examiner's action dated April 4, 2000.

- [X] The reply and/or amendment is being filed under 37 CFR 1.8 and the required Certificate of Mailing appears above.
- [ ] An additional fee in the amount of \$ is required for the amended claims presented and has been calculated as shown in the attached sheet.

Please charge Deposit Account No. 21-0718 in the amount of the additional fee above, or such greater or lesser amount of excess fees for claims as the Commissioner determines is required by law. Triplicate copies of this sheet are enclosed.

**EXTENSION OF TIME.** In the event this paper is not filed prior to the time set for response, applicant(s) hereby petition for an extension of the period for filing the attached reply and/or amendment to the date of filing this paper, and hereby authorize the Commissioner to charge the extension fee as may be required by 37 CFR 1.17, to Deposit Account No. 21-0718. If for any

reason the extension requested above is insufficient to extend this period to the date of this paper, applicant(s) hereby petition for the revival of the above-captioned application as having been unintentionally abandoned and authorize the Commissioner to charge the required fees under 37 CFR 1.17 to Deposit Account No. 21-0718.

Respectfully submitted,

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OCT 11 2000

Lucy X. Yang  
Lucy X. Yang, Attorney  
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Date: 10-3-2000 RECEIVED CENTER 1600/2900

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Enclosures:

Reply/Amendment

☐ Calculation of Additional Fees for Amended Claims



PATENT/Docket No. 6011.N DV2

Serial No. 09/138,209

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THE UNITED STATES PATENT AND TRADEMARK OFFICE

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OCT 11 2000

TECH CENTER 1600/2900

Art Unit : 1624  
Examiner : B. Coleman  
Applicant(s) : Toni-Jo Poel, et al.  
Serial Number : 09/138,209  
Filed : August 24, 1998  
For : Phenyloxazolidinones Having a C-C Bond to 4-8 Membered Heterocyclic Rings

Commissioner of Patents and Trademarks  
Washington, DC 20231

Sir:

### RESPONSE AND AMENDMENTS UNDER CFR 1.111

Responding to the Office Action dated April 4, 2000; applicants respectfully submit the following amendments and remarks.

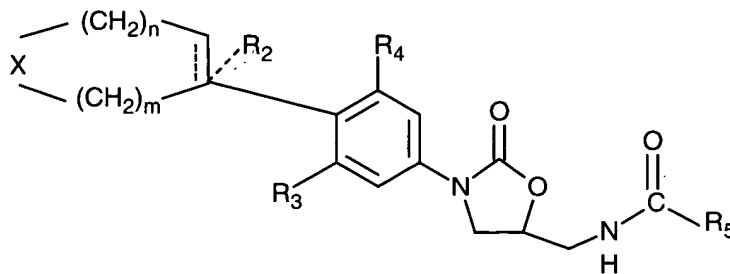
### AMENDMENTS

Please delete claims 7, 9 and 10.

Please add new claim 14 and 15.

Please amend claim 1 as following:

1(amended). A compound of Formula I:



(I)

or pharmaceutical acceptable salts thereof wherein:

X is  $\text{NR}_1$ ;

$\text{R}_1$  is

- A<sup>1</sup>*
- a) H,
  - b) C<sub>1-6</sub> alkyl, optionally substituted with one or more OH, CN, or halo,
  - c) -(CH<sub>2</sub>)<sub>h</sub>-aryl,
  - d) -COR<sub>1-1</sub>,
  - e) -COOR<sub>1-2</sub>,
  - f) -CO-(CH<sub>2</sub>)<sub>h</sub>-COR<sub>1-1</sub>,
  - g) -SO<sub>2</sub>-C<sub>1-6</sub> alkyl,
  - h) -SO<sub>2</sub>-(CH<sub>2</sub>)<sub>h</sub>-aryl, or
  - i) -(CO)<sub>i</sub>-Net;

R<sub>1-1</sub> is

- a) H,
- b) C<sub>1-6</sub> alkyl, optionally substituted with one or more OH, CN, or halo,
- c) -(CH<sub>2</sub>)<sub>h</sub>-aryl, or
- d) -(CH<sub>2</sub>)<sub>h</sub>-OR<sub>1-3</sub>;

R<sub>1-2</sub> is

- a) C<sub>1-6</sub> alkyl, optionally substituted with one or more OH, CN, or halo,
- b) -(CH<sub>2</sub>)<sub>h</sub>-aryl, or
- c) -(CH<sub>2</sub>)<sub>h</sub>-OR<sub>1-3</sub>;

R<sub>1-3</sub> is

- a) H,
- b) C<sub>1-6</sub> alkyl,
- c) -(CH<sub>2</sub>)<sub>h</sub>-aryl, or
- d) -CO(C<sub>1-6</sub> alkyl);

R<sub>2</sub> is

- a) H,
- b) C<sub>1-6</sub> alkyl,

c)  $-(CH_2)_h$ -aryl, or

d) halo;

*A-1*  
R<sub>3</sub> and R<sub>4</sub> are independently

a) H, or

b) halo;

R<sub>5</sub> is

a) H,

b) C<sub>1-12</sub> alkyl, optionally substituted with one or more halo,

c) C<sub>3-12</sub> cycloalkyl,

d) C<sub>1-6</sub> alkoxy;

Het is 5- to 10-membered heterocyclic rings having one or more oxygen, nitrogen, and sulfur atoms;

the dotted line ----- in the ring system of Formula I is a single or a double [bound] bond;

h is 1, 2, 3, or 4;

i is 0 or 1;

m is 0, 1, 2, 3, 4, or 5;

n is 0, 1, 2, 3, 4, or 5;

and with the following [provisios] provisos:

a) m and n taken together are 3[, 4, or 5];

b) where the dotted line ----- is a double [bound] bond, R<sub>2</sub> is not present in Formula

I.

---

*A<sup>2</sup>*  
14. A compound selected from the group consisting of:

a) (S)-(-)-4-[4-[5-[(Acetylamino)methyl]-2-oxo-3-oxazolidinyl]phenyl]-3,6-dihydro-1(2H)-pyridinecarboxylic acid phenylmethyl ester;

b) (S)-(-)-N-[[2-Oxo-3-[4-(4-piperidinyl)phenyl]-5-oxazolidinyl]methyl]acetamide;

c) (S)-(-)-N-[[3-[4-[1-[(Benzyloxy)acetyl]-4-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;

- d) (S)-(-)-N-[[3-[4-[1-(Hydroxyacetyl)-4-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
- A2 e) (S)-(-)-N-[[3-[4-[1-[(Benzyloxy)acetyl]-4-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
- f) (S)-(-)-N-[[3-[4-[1-(Hydroxyacetyl)-4-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
- g) (S)-(-)-N-[[3-[4-[1-[(Benzyloxy)acetyl]-4-piperidinyl]-3,5-difluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
- h) (S)-(-)-N-[[3-[4-[1-(Hydroxyacetyl)-4-piperidinyl]-3,5-difluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
- i) (S)-(-)-N-[[3-[4-[1-(Indole-2-carbonyl)-4-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
- j) (S)-(-)-N-[[3-[4-[1-(Isoxazole-5-carbonyl)-4-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
- k) (S)-(-)-N-[[3-[4-[1-(Methylsulfonyl)-4-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
- l) (S)-(-)-4-[4-[5-[(Acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperidinecarboxylic acid methyl ester;
- m) (S)-(-)-N-[[3-[4-[1-(Cyanomethyl)-4-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
- n) (S)-(-)-N-[[3-[4-[1-(2-Fluoroethyl)-4-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
- o) (S)-(-)-N-[[3-[4-[1-(Formyl)-4-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
- p) (S)-(-)-4-[4-[5-[(2,2-Dichloroacetyl)amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperidinecarboxylic acid 1,1-dimethylethyl ester;
- q) (S)-(-)-2,2-Dichloro-N-[[2-oxo-3-[3-fluoro-4-(4-piperidinyl)phenyl]-5-oxazolidinyl]methyl]acetamide;
- r) (S)-(-)-2,2-Dichloro-N-[[2-oxo-3-[3-fluoro-4-[1-[(acetoxy)acetyl]-4-piperidinyl]phenyl]-5-oxazolidinyl]methyl]acetamide;

- As
- s) (S)-(-)-2,2-Dichloro-N-[[2-oxo-3-[3-fluoro-4-[1-(hydroxyacetyl)-4-piperidinyl]phenyl]-5-oxazolidinyl]methyl]acetamide;
  - t) (S)-(-)-N-[[2-Oxo-3-[3-fluoro-4-[1-[(acetoxo)acetyl]-4-piperidinyl]phenyl]-5-oxazolidinyl]methyl]acetamide;
  - u) (S)-(-)-N-[[3-[4-[1-(4-Oxo-2-thiazoliny)]-4-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
  - v) (S)-(-)-N-[[3-[4-[1-(4-Oxo-2-thiazoliny)]-3,6-dihydro-2H-pyridin-5-yl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
  - w) (S)-(-)-N-[[3-[4-[1-(5-Methyl-1,3,4-thiadiazol-2-yl)-4-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
  - x) (S)-(-)-N-[[3-[4-[1-(5-Methyl-1,3,4-thiadiazol-2-yl)-3,6-dihydro-2H-pyridin-4-yl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
  - y) (S)-(-)-N-[[2-Oxo-3-[4-(3,6-dihydro-2H-pyridin-4-yl)-3-fluorophenyl]-5-oxazolidinyl]methyl]acetamide;
  - z) (S)-(-)-N-[[2-Oxo-3-[3-fluoro-4-[1-[(acetoxo)acetyl]-3,6-dihydro-2H-pyridin-4-yl]phenyl]-5-oxazolidinyl]methyl]acetamide;
  - aa) (S)-(-)-N-[[3-[4-[1-(Hydroxyacetyl)-3,6-dihydro-2H-pyridin-4-yl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
  - bb) (S)-(-)-N-[[3-[4-[1-(Formyl)-3,6-dihydro-2H-pyridin-4-yl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
  - cc) (S)-(-)-4-[4-[5-[(Acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-3,6-dihydro-1(2H)-pyridinecarboxylic acid methyl ester;
  - dd) (S)-(-)-N-[[2-Oxo-3-[4-(3,6-dihydro-2H-pyridin-4-yl)phenyl]-5-oxazolidinyl]methyl]acetamide;
  - ee) (S)-(-)-N-[[2-Oxo-3-[4-[1-[(acetoxo)acetyl]-3,6-dihydro-2H-pyridin-4-yl]phenyl]-5-oxazolidinyl]methyl]acetamide;
  - ff) (S)-(-)-N-[[3-[4-[1-(Hydroxyacetyl)-3,6-dihydro-2H-pyridin-4-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
  - gg) (S)-(-)-N-[[3-[4-[1-(Formyl)-3,6-dihydro-2H-pyridin-4-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;

- hh) (S)-(-)-4-[4-[5-[(Acetylamino)methyl]-2-oxo-3-oxazolidinyl]phenyl]-3,6-dihydro-1(2H)-pyridinecarboxylic acid methyl ester;
- A<sup>2</sup> ii) (S)-N-[[2-Oxo-3-[3-fluoro-4-[1-[(acetoxo)acetyl]-5,6-dihydro-2H-pyridin-3-yl]phenyl]-5-oxazolidinyl]methyl]acetamide;
- jj) (S)-N-[[3-[4-[1-(Hydroxyacetyl)-5,6-dihydro-2H-pyridin-3-yl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
- kk) (S)-N-[[2-Oxo-3-[3-fluoro-4-[1-[(acetoxo)acetyl]-3,4-dihydro-2H-pyridin-5-yl]phenyl]-5-oxazolidinyl]methyl]acetamide;
- ll) (S)-(-)-N-[[3-[4-[1-(Hydroxyacetyl)-3,4-dihydro-2H-pyridin-5-yl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide; and
- mm) (S)-(-)-N-[[3-[4-[1-Formyl-4-fluoro-4-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide.

15. A compound of Claim 14 which is:

- a) (S)-(-)-N-[[3-[4-[1-(Hydroxyacetyl)-4-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
- b) (S)-(-)-N-[[3-[4-[1-(Formyl)-4-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
- c) (S)-(-)-2,2-Dichloro-N-[[2-oxo-3-[3-fluoro-4-[1-(hydroxyacetyl)-4-piperidinyl]phenyl]-5-oxazolidinyl]methyl]acetamide;
- d) (S)-(-)-N-[[2-Oxo-3-[3-fluoro-4-[1-[(acetoxo)acetyl]-3,6-dihydro-2H-pyridin-4-yl]phenyl]-5-oxazolidinyl]methyl]acetamide;
- e) (S)-(-)-N-[[3-[4-[1-(Hydroxyacetyl)-3,6-dihydro-2H-pyridin-4-yl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide;
- f) (S)-(-)-N-[[3-[4-[1-(4-Oxo-2-thiazolinyl)-3,6-dihydro-2H-pyridin-5-yl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide; or
- g) (S)-(-)-N-[[3-[4-[1-(5-Methyl-1,3,4-thiadiazol-2-yl)-3,6-dihydro-2H-pyridin-5-yl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide.
-